

**CLAIMS**

What is claimed is:

1. A peptide, comprising the sequence  $S_1 - S_2 - S_3 - S_4 - S_5$ , wherein
  - 5  $S_1$  comprises an amino acid chain from one to about four neutral or charged L- or D-configuration amino acid residues or a linear or branched alkyl, aryl, alkene, alkenyl or aralkyl chain;  
 $S_2$  is absent or is a natural or unnatural aliphatic amino acid residue;  
 $S_3$  is L- or D-Phe, Phe(4-Cl), Phe(2,4-diCl), Phe(3,4-diCl), Phe(4-NO<sub>2</sub>), Phe(4-Me), Phe(4-Phenyl), Hphe, Pgl, Trp, Nal 1, Nal 2, Bip, Dip, Bpa, Ser(Bzl), Lys(Z), Lys(Z-2'Br), Lys(Bz), Thr(Bzl),  
10 Cys(Bzl), Tyr(BzlCl<sub>2</sub>) or any natural or unnatural L- or D-amino acid with an aromatic side chain group, wherein the aromatic ring is optionally functionalized with halogen, alkyl or aryl groups;  
 $S_4$  is L- or D-Lys, Arg, Orn, Dpr, Dbu, p-amino-Phe or any natural or unnatural amino acid with a positively charged side chain;  
15  $S_5$  comprises an L- or D-amino acid with an aromatic side chain.
2. The peptide of claim 1 wherein  $S_1$  potentiates the intrinsic activity of the remainder of the peptide by providing an auxiliary or secondary receptor contact.
- 20 3. The peptide of claim 1 wherein  $S_1$  comprises an acetyl group.
4. The peptide of claim 1 wherein  $S_2$  is Gly or L- or D-Ala, Val, Leu or Nle.
5. The peptide of claim 1 wherein  $S_4$  is an L-configuration cationic amino acid.  
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6. The peptide of claim 1 wherein  $S_5$  the L- or D-amino acid with an aromatic side chain is Phe, Phe(4-Cl), Phe(2,4-diCl), Phe(3,4-diCl), Phe(4-NO<sub>2</sub>), Phe(4-Me), Phe(4-Phenyl), Hphe, Pgl, Trp, Nal 1, Nal 2, Bip, Dip, Bpa, Ser(Bzl), Lys(Z), Lys(Z-2'Br), Lys(Bz), Thr(Bzl), Cys(Bzl), Tyr(BzlCl<sub>2</sub>), an N-alkylated or arylated derivative of any of the foregoing, or a des-carboxyl amino acid  
30 corresponding to any of the foregoing.

7. The peptide of claim 1 wherein S<sub>5</sub> comprises one or more additional amino acids.

8. The peptide of claim 1 wherein S<sub>5</sub> comprises a terminal group.

5 9. A peptide, comprising the sequence S<sub>1</sub> – S<sub>2</sub> – D-Phe(4-Cl) – S<sub>4</sub> – S<sub>5</sub>, wherein  
S<sub>1</sub> is heptanoyl, 2'-naphthylacetyl, 7'-amino-heptanoyl, 2'-chlorophenylacetyl, 3'-chlorophenylacetyl, 4'-  
chlorophenylacetyl, 4'-phenylbutylaminocarbonyl, 3'-phenylbutylaminocarbonyl, 4'-  
bromophenyl-acetyl, 3,4-dichlorophenyl-acetyl, 2,4-dichlorophenyl-acetyl, 4-biphenyl-acetyl,  
2-naphthoyl, Ph-(CH<sub>2</sub>)<sub>2</sub>NH, 3'-phenylpropanecarbonyl, 2'-naphthoyl-Pip, 2'-naphthylacetyl, 2'-  
10 bromophenyl-acetyl, 4'-CF<sub>3</sub>phenyl-acetyl, 3'-CF<sub>3</sub>phenyl-acetyl, 2'-CF<sub>3</sub>phenyl-acetyl, 3',5'-  
CF<sub>3</sub>phenylacetyl, 2',5'-CF<sub>3</sub>phenylacetyl, 4'-Mephenyl-acetyl, 3'-Mephenyl-acetyl, 2'-Mephenyl-  
acetyl, 7'-aminoheptonoyl, beta-Ala, 4-aminoButyl, 5-aminoValeryl, 6-aminoCaproyl,  
aminoTranexamyl, Cmpi or 3',4'-Cl<sub>2</sub>phenylacetyl;  
S<sub>2</sub> is absent or is Ser(Bzl), Ala, D-Ala, beta-Ala, Val, Leu, Chg, Aib, Tie, 1-amino-  
15 1cyclohexanecarbonyl, Inp, CO(CH<sub>2</sub>)<sub>2</sub>NH, CO(CH<sub>2</sub>)<sub>2</sub>CO, Pip, MeThr(Bzl), Thr(Bzl) or D-  
Thr(Bzl);  
S<sub>4</sub> is Arg, D-Arg, (Nlys)Gly, Trp, Lys, homoLys, Dpr(beta-Ala), alpha-(N-amidino-4'-piperidine)Gly, (4'-  
guanidino)Gly, (4'-guanidino)Phe, D-(4'-guanidino)Phe, beta-(N-amidino-4'-piperidine)Ala or  
homo-Ala-4'-pip(N-amidino); and  
20 S<sub>5</sub> is Trp, Trp-OH, Trp-NH<sub>2</sub>, Trp-Cys-NH<sub>2</sub>, D-Trp, D-Trp-NH<sub>2</sub>, Trp-Val-NH<sub>2</sub>, 3'-Pya-NH<sub>2</sub>, Phe-NH<sub>2</sub>,  
MeTrp-NH<sub>2</sub>, beta-Ala-Trp-NH<sub>2</sub>, aminobutylamide, Nal 1-NH<sub>2</sub>, D-Nal 1-NH<sub>2</sub>, Nal 2-NH<sub>2</sub>, D-Nal  
2-NH<sub>2</sub>, Tic-NH<sub>2</sub>, D-Tic-NH<sub>2</sub>, 1'-aminoindan, 1'-aminoindane-1-carboxyl-NH<sub>2</sub>, Aic-NH<sub>2</sub>, Atc-  
NH<sub>2</sub>, Disc-NH<sub>2</sub>, Tpi-NH<sub>2</sub>, D-Tpi-NH<sub>2</sub>, Tiq-NH<sub>2</sub>, D-Tiq-NH<sub>2</sub>, tryptamide, NMe-tryptamide, alpha-  
Me-tryptamide, 2'-(4''-methylphenyl)ethylamide, 3',4'-Cl<sub>2</sub>)phenylmethylamide, 3'-  
25 phenylpropylamide, 2',4'-dichlorobenzylamide, 3'-(1H-imidazol)propylamide, 4-phenyl-  
piperidine-4-carbonamide, 3-phenyl-1-propylamide, 2,4-dichlorophenethylamide, S-(-)-1-(2-  
naphthyl)ethylamide, S-(-)-1-(1-naphthyl)ethylamide, 2'-methylbenzylamide, 4'-  
methylbenzylamide, 2',2'-diphenylethylamide, 1-(2-pyridyl)piperazine, N-benzylmethylamide,  
histamide, R-(+)-1-(2-Naphthyl)ethylamide, Trp-Asp-NH<sub>2</sub>, Trp-Asp-Phe-NH<sub>2</sub>, Asp-Trp-NH<sub>2</sub>,  
30 Ala-Trp-NH<sub>2</sub>, Trp-Ala-NH<sub>2</sub>, phenethylamide or Trp-Asp-OH.

10. The peptide of claim 9 consisting of the sequence:

- 7'-amino-heptanoyl-Ser(Bzl)-D-Phe(4-Cl)-Arg-Trp-NH<sub>2</sub>,  
7'-amino-heptanoyl-Ser(Bzl)-D-Phe(4-Cl)-Arg-Trp-Ala-NH<sub>2</sub>,  
7'-amino-heptanoyl-Ser(Bzl)-D-Phe(4-Cl)-Arg-Trp-Asp-Phe-NH<sub>2</sub>,  
5 7'-amino-heptanoyl-Ser(Bzl)-D-Phe(4-Cl)-Arg-Trp-Asp-NH<sub>2</sub>,  
heptanoyl-Thr(Bzl)-D-Phe(4-Cl)-Arg-Trp-NH<sub>2</sub>,  
7'-amino-heptanoyl-Ser(Bzl)-D-Phe(4-Cl)-Arg-MeTrp-NH<sub>2</sub>,  
heptanoyl-Ser(Bzl)-D-Phe(4-Cl)-Arg-MeTrp-NH<sub>2</sub>,  
7'-amino-heptanoyl-Ser(Bzl)-D-Phe(4-Cl)-Arg-Tryptamide,  
10 7'-amino-heptanoyl-Ser(Bzl)-D-Phe(4-Cl)-Arg-NMe-Tryptamide,  
7'-amino-heptanoyl-Ser(Bzl)-D-Phe(4-Cl)-Arg-alpha-Me-Tryptamide,  
7'-amino-heptanoyl-Ser(Bzl)-D-Phe(4-Cl)-Arg-S(-)-1-(1-Naphthyl)ethylamide,  
7'-amino-heptanoyl-Ser(Bzl)-D-Phe(4-Cl)-Arg-Nal 1-NH<sub>2</sub>,  
7'-amino-heptanoyl-Ser(Bzl)-D-Phe(4-Cl)-Arg-D-Nal 2-NH<sub>2</sub>,  
15 7'-amino-heptanoyl-Ser(Bzl)-D-Phe(4-Cl)-Arg-Nal 2-NH<sub>2</sub>,  
2'-naphthylacetyl-Ala-D-Phe(4-Cl)-Arg-Trp-NH<sub>2</sub>,  
4'-phenylbutyryl-Ala-D-Phe(4-Cl)-Arg-Trp-NH<sub>2</sub>,  
3',4'-dichlorophenyl-acetyl-Ala-D-Phe(4-Cl)-Arg-Trp-NH<sub>2</sub>, or  
3'-CF<sub>3</sub>phenyl-acetyl-Ala-D-Phe(4-Cl)-Arg-Trp-NH<sub>2</sub>.

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11. A peptide, comprising the sequence 7'-amino-heptanoyl – S<sub>2</sub> – D-Phe(4-Cl) – S<sub>4</sub> – S<sub>5</sub>,

wherein

- S<sub>2</sub> is absent or is Ser(Bzl), Ala, D-Ala, beta-Ala, Val, Leu, Chg, Aib, Tle, 1-amino-  
1cyclohexanecarbonyl, Inp, CO(CH<sub>2</sub>)<sub>2</sub>NH, CO(CH<sub>2</sub>)<sub>2</sub>CO, Pip, MeThr(Bzl), Thr(Bzl) or D-  
25 Thr(Bzl);  
S<sub>4</sub> is Arg, D-Arg, (Nlys)Gly, Trp, Lys, homoLys, Dpr(beta-Ala), alpha-(N-amidino-4'-piperidine)Gly, (4'-  
guanidino)Gly, (4'-guanidino)Phe, D-(4'-guanidino)Phe, beta-(N-amidino-4'-peperidine)Ala or  
homo-Ala-4'-pip(N-amidino); and  
S<sub>5</sub> is Trp, Trp-OH, Trp-NH<sub>2</sub>, Trp-Cys-NH<sub>2</sub>, D-Trp, D-Trp-NH<sub>2</sub>, Trp-Val-NH<sub>2</sub>, 3'-Pya-NH<sub>2</sub>, Phe-NH<sub>2</sub>,  
30 MeTrp-NH<sub>2</sub>, beta-Ala-Trp-NH<sub>2</sub>, aminobutylamide, Nal 1-NH<sub>2</sub>, D-Nal 1-NH<sub>2</sub>, Nal 2-NH<sub>2</sub>, D-Nal  
2-NH<sub>2</sub>, Tic-NH<sub>2</sub>, D-Tic-NH<sub>2</sub>, 1'-aminoindan, 1'-aminoindane-1-carboxyl-NH<sub>2</sub>, Aic-NH<sub>2</sub>, Atc-

NH<sub>2</sub>, Disc-NH<sub>2</sub>, Tpi-NH<sub>2</sub>, D-Tpi-NH<sub>2</sub>, Tiq-NH<sub>2</sub>, D-Tiq-NH<sub>2</sub>, tryptamide, NMe-tryptamide, alpha-Me-tryptamide, 2'-(4"-methylphenyl)ethylamide, 3',4'-Cl<sub>2</sub>)phenylmethanamide, 3'-phenylpropylamide, 2',4'-dichlorobenzylamide, 3'-(1H-imidazol)propylamide, 4-phenylpiperidine-4-carbonamide, 3-phenyl-1-propylamide, 2,4-dichlorophenethylamide, S-(-)-1-(2-naphthyl)ethylamide, S-(-)-1-(1-naphthyl)ethylamide, 2'-methylbenzylamide, 4'-methylbenzylamide, 2',2'-diphenylethylamide, 1-(2-pyridyl)piperazine, N-benzylmethanamide, histamide, R-(+)-1-(2-Naphthyl)ethylamide, Trp-Asp-NH<sub>2</sub>, Trp-Asp-Phe-NH<sub>2</sub>, Asp-Trp-NH<sub>2</sub>, Ala-Trp-NH<sub>2</sub>, Trp-Ala-NH<sub>2</sub>, phenethylamide or Trp-Asp-OH.

12. The peptide of claim 11 consisting of the sequence

7'-amino-heptanoyl-Ser(Bzl)-D-Phe(4-Cl)-Arg-Trp-Ala-NH<sub>2</sub>,  
7'-amino-heptanoyl-Ser(Bzl)-D-Phe(4-Cl)-Arg-Trp-Asp-Phe-NH<sub>2</sub>,  
7'-amino-heptanoyl-Ser(Bzl)-D-Phe(4-Cl)-Arg-Trp-Asp-NH<sub>2</sub>,  
7'-amino-heptanoyl-Ser(Bzl)-D-Phe(4-Cl)-Arg-MeTrp-NH<sub>2</sub>,  
7'-amino-heptanoyl-Ser(Bzl)-D-Phe(4-Cl)-Arg-Tryptamide,  
7'-amino-heptanoyl-Ser(Bzl)-D-Phe(4-Cl)-Arg-NMe-Tryptamide,  
7'-amino-heptanoyl-Ser(Bzl)-D-Phe(4-Cl)-Arg-alpha-Me-Tryptamide,  
7'-amino-heptanoyl-Ser(Bzl)-D-Phe(4-Cl)-Arg-S-(-)-1-(1-Naphthyl)ethylamide,  
7'-amino-heptanoyl-Ser(Bzl)-D-Phe(4-Cl)-Arg-Nal 1-NH<sub>2</sub>,  
7'-amino-heptanoyl-Ser(Bzl)-D-Phe(4-Cl)-Arg-D-Nal 2-NH<sub>2</sub>, or  
7'-amino-heptanoyl-Ser(Bzl)-D-Phe(4-Cl)-Arg-Nal 2-NH<sub>2</sub>.

13. A peptide, comprising the sequence S<sub>1</sub> - S<sub>2</sub> - S<sub>3</sub> - S<sub>4</sub> - S<sub>5</sub>, wherein

S<sub>1</sub> is heptanoyl, 2'-naphthylacetyl, 7'-amino-heptanoyl, 2'-chlorophenylacetyl, 3'-chlorophenylacetyl, 4'-chlorophenylacetyl, 4'-phenylbutylaminocarbonyl, 3'-phenylbutylaminocarbonyl, 4'-bromophenyl-acetyl, 3-4-dichlorophenyl-acetyl, 2,4-dichlorophenyl-acetyl, 4-biphenyl-acetyl, 2-naphthoyl, Ph-(CH<sub>2</sub>)<sub>2</sub>NH, 3'-phenylpropanecarbonyl, 2'-naphthoyl-Pip, 2'-naphthylacetyl, 2'-bromophenyl-acetyl, 4'-CF<sub>3</sub>phenyl-acetyl, 3'-CF<sub>3</sub>phenyl-acetyl, 2'-CF<sub>3</sub>phenyl-acetyl, 3',5'-CF<sub>3</sub>phenylacetyl, 2',5'-CF<sub>3</sub>phenylacetyl, 4'-Mephenyl-acetyl, 3'-Mephenyl-acetyl, 2'-Mephenyl-acetyl, 7'-aminoheptanoyl, beta-Ala, 4-aminoButyl, 5-aminoValeryl, 6-aminoCaproyl, aminoTranexanyl, Cmpi or 3'4'-Cl<sub>2</sub>phenylacetyl;

- S<sub>2</sub> is absent or is Ser(Bzl), Ala, D-Ala, beta-Ala, Val, Leu, Chg, Aib, Tie, 1-amino-1cyclohexanecarbonyl, Inp, CO(CH<sub>2</sub>)<sub>2</sub>NH, CO(CH<sub>2</sub>)<sub>2</sub>CO, Pip, MeThr(Bzl), Thr(Bzl) or D-Thr(Bzl);
- 5 S<sub>3</sub> is Phe, D-Phe, Phe(4-Cl), D-Phe(4-Cl), Phe(3-Cl), D-Phe(3-Cl), Phe(2-Cl), D-Phe(2-Cl), D-Phe(3,4-diCl), MePhe, D-MePhe, D-Tic, D-Tpi, D-Nal 2, Arg, D-Phe(3,4-F<sub>2</sub>), D-Tiq, D-Me(homo)Phe or D-EtPhe;
- S<sub>4</sub> is Arg, D-Arg, (Nlys)Gly, Trp, Lys, homoLys, Dpr(beta-Ala), alpha-(N-amidino-4'-piperidine)Gly, (4'-guanidino)Gly, (4'-guanidino)Phe, D-(4'-guanidino)Phe, beta-(N-amidino-4'-peperidine)Ala or homo-Ala-4'-pip(N-amidino); and
- 10 S<sub>5</sub> is Trp, Trp-OH, Trp-NH<sub>2</sub>, Trp-Cys-NH<sub>2</sub>, D-Trp, D-Trp-NH<sub>2</sub>, Trp-Val-NH<sub>2</sub>, 3'-Pya-NH<sub>2</sub>, Phe-NH<sub>2</sub>, MeTrp-NH<sub>2</sub>, beta-Ala-Trp-NH<sub>2</sub>, aminobutylamide, Nal 1-NH<sub>2</sub>, D-Nal 1-NH<sub>2</sub>, Nal 2-NH<sub>2</sub>, D-Nal 2-NH<sub>2</sub>, Tic-NH<sub>2</sub>, D-Tic-NH<sub>2</sub>, 1'-aminoindan, 1'-aminoindane-1-carboxyl-NH<sub>2</sub>, Aic-NH<sub>2</sub>, Atc-NH<sub>2</sub>, Disc-NH<sub>2</sub>, Tpi-NH<sub>2</sub>, D-Tpi-NH<sub>2</sub>, Tiq-NH<sub>2</sub>, D-Tiq-NH<sub>2</sub>, tryptamide, NMe-tryptamide, alpha-Me-tryptamide, 2'-(4''-methylphenyl)ethylamide, 3',4'-Cl<sub>2</sub>)phenylmethylamide, 3'-
- 15 phenylpropylamide, 2',4'-dichlorobenzylamide, 3'-(1H-imidazol)propylamide, 4-phenylpiperidine-4-carbonamide, 3-phenyl-1-propylamide, 2,4-dichlorophenethylamide, S-(-)-1-(2-naphthyl)ethylamide, S-(-)-1-(1-naphthyl)ethylamide, 2'-methylbenzylamide, 4'-methylbenzylamide, 2',2'-diphenylethylamide, 1-(2-pyridyl)piperazine, N-benzylmethylamide, histamide, R-(+)-1-(2-Naphthyl)ethylamide, Trp-Asp-NH<sub>2</sub>, Trp-Asp-Phe-NH<sub>2</sub>, Asp-Trp-NH<sub>2</sub>,
- 20 Ala-Trp-NH<sub>2</sub>, Trp-Ala-NH<sub>2</sub>, phenethylamide or Trp-Asp-OH.
14. The peptide of claim 13 consisting of the sequence
- 7'-amino-heptanoyl-Ser(Bzl)-D-Phe(4-Cl)-Arg-Trp,
- 7'-amino-heptanoyl-Ser(Bzl)-D-Phe(4-Cl)-Arg-Trp-NH<sub>2</sub>,
- 25 7'-amino-heptanoyl-Ser(Bzl)-D-Phe(4-Cl)-Arg-Trp-Ala-NH<sub>2</sub>,
- 7'-amino-heptanoyl-Ser(Bzl)-D-Phe(4-Cl)-Arg-Trp-Asp-Phe-NH<sub>2</sub>,
- 7'-amino-heptanoyl-Ser(Bzl)-D-Phe(4-Cl)-Arg-Trp-Asp-NH<sub>2</sub>,
- heptanoyl-Thr(Bzl)-D-Phe(4-Cl)-Arg-Trp-NH<sub>2</sub>,
- 7'-amino-heptanoyl-Ser(Bzl)-D-Nal 2-Arg-Trp-NH<sub>2</sub>,
- 30 7'-amino-heptanoyl-Ala-D-Nal 2-Arg-Trp-NH<sub>2</sub>,
- Ser(Bzl)-D-Nal 2-Arg-Trp-NH<sub>2</sub>,

Ser(Bzl)-D-Nal 2-Arg-D-Trp-NH<sub>2</sub>,

7'-amino-heptanoyl-Ser(Bzl)-D-Phe(4-Cl)-Arg-MeTrp-NH<sub>2</sub>,

heptanoyl-Ser(Bzl)-D-Phe(4-Cl)-Arg-MeTrp-NH<sub>2</sub>,

7'-amino-heptanoyl-Ser(Bzl)-D-Phe(4-Cl)-Arg-Tryptamide,

5 7'-amino-heptanoyl-Ser(Bzl)-D-Phe(4-Cl)-Arg-NMe-Tryptamide,

7'-amino-heptanoyl-Ser(Bzl)-D-Phe(4-Cl)-Arg-alpha-Me-Tryptamide,

7'-amino-heptanoyl-Ser(Bzl)-D-Phe(4-Cl)-Arg-S(-)-1-(1-Naphthyl)ethylamide,

7'-amino-heptanoyl-Ser(Bzl)-D-Phe(4-Cl)-Arg-Nal 1-NH<sub>2</sub>,

7'-amino-heptanoyl-Ser(Bzl)-D-Phe(4-Cl)-Arg-D-Nal 2-NH<sub>2</sub>,

10 7'-amino-heptanoyl-Ser(Bzl)-D-Phe(4-Cl)-Arg-Nal 2-NH<sub>2</sub>,

2'-naphthylacetyl-Ala-D-Phe(4-Cl)-Arg-Trp-NH<sub>2</sub>,

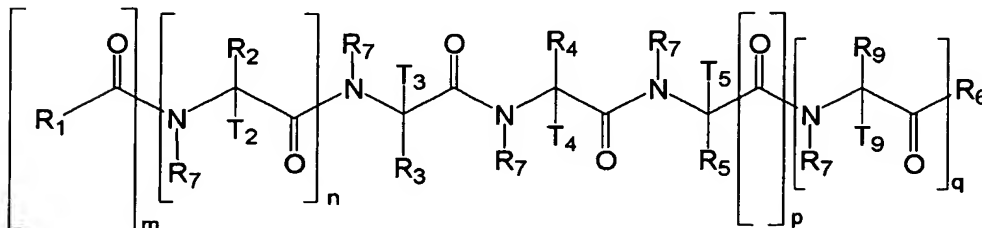
4'-phenylbutyryl-Ala-D-Phe(4-Cl)-Arg-Trp-NH<sub>2</sub>,

3',4'-dichlorophenyl-acetyl-Ala-D-Phe(4-Cl)-Arg-Trp-NH<sub>2</sub>, or

3'-CF<sub>3</sub>phenyl-acetyl-Ala-D-Phe(4-Cl)-Arg-Trp-NH<sub>2</sub>.

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15. A melanocortin receptor-specific linear peptide of the formula:



where:

R<sub>1</sub> is an aliphatic L- or D-amino acid, N-acylated L- or D-aliphatic amino acid or R<sub>8</sub>;

20 R<sub>8</sub> is independently selected from the group consisting of linear or branched C<sub>1</sub> to C<sub>17</sub> alkyl, aryl, heteroaryl, alkene, alkenyl, or aralkyl chains;

R<sub>2</sub> and R<sub>3</sub> are each independently H, CH<sub>3</sub>, or an aromatic substituent aryl or heteroaryl side chain of a natural or synthetic L- or D-amino acid containing at least one aromatic ring moiety, wherein the ring(s) may additionally be functionalized by one or more halogen, alkyl or aryl groups;

R<sub>4</sub> is a positively charged aliphatic or aromatic side chain of a natural or synthetic L- or D-amino acid, wherein the chain comprises at least one nitrogen-containing group, or is a neutral aliphatic side chain having hydrogen donors and/or acceptors;

5 R<sub>5</sub> is H, CH<sub>3</sub>, an aromatic substituent aryl or heteroaryl side chain of a natural or synthetic L- or D-amino acid containing at least one aromatic ring moiety wherein the ring(s) may additionally be functionalized by one or more halogen, alkyl or aryl groups, or a substituent alkyl or hydrogen bonding polar side chain of a natural or synthetic L- or D-amino acid wherein the side chain has a hydrogen donor or acceptor moiety;

R<sub>6</sub> is hydroxide, NH<sub>2</sub>, or NH-R<sub>8</sub>;

10 R<sub>7</sub> is H, methyl, ethyl, propyl, butyl, or a higher linear or branched chain terminating in an amino group, benzyl, or aralkyl group;

R<sub>9</sub> is H or an amino acid side chain group;

m is normally 1 with the proviso that m may be 0 in which case this functionality is not present and the N-terminal group is an amine;

15 n is normally 1, with the proviso that n may be 0 in which case this amino acid is not present;

p is normally 1 with the proviso that when p is 0 the chain terminates with the combination of R<sub>5</sub> and T<sub>5</sub> and there is no q and no R<sub>6</sub>;

q is normally 1 with the proviso that when q is 0 and p is 1 then the terminal group is R<sub>6</sub>; and

T<sub>2</sub>, T<sub>3</sub>, T<sub>4</sub>, T<sub>5</sub>, and T<sub>9</sub> are each H, CH<sub>3</sub>, C<sub>2</sub>H<sub>5</sub> or a benzyl group;

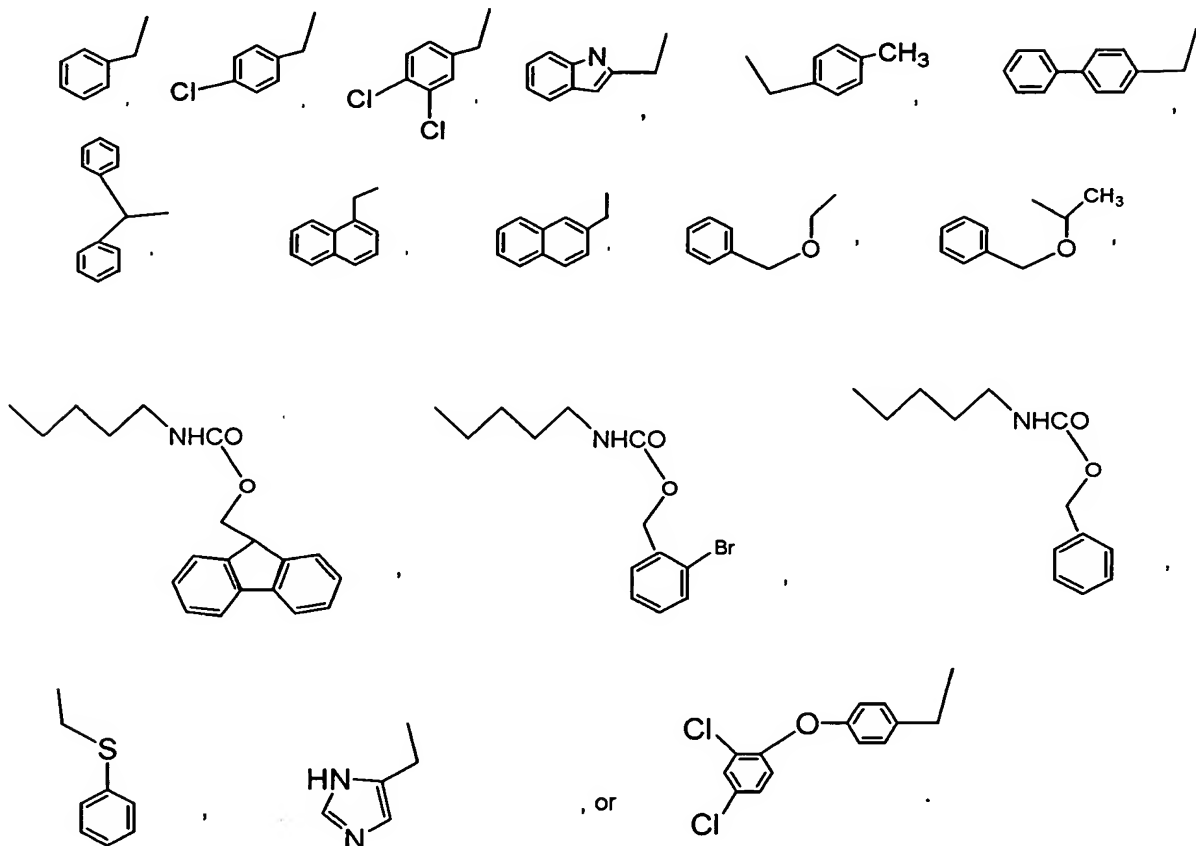
20 provided that one or more of the pairs R<sub>2</sub> and T<sub>2</sub>, or R<sub>3</sub> and T<sub>3</sub>, or R<sub>4</sub> and T<sub>4</sub>, or R<sub>5</sub> and T<sub>5</sub>, or R<sub>9</sub> and T<sub>9</sub> moieties may be joined together by additional carbon-carbon bonds to form a five-, six- or seven-membered ring structure; and

further provided that one or more of R<sub>2</sub>, R<sub>4</sub>, R<sub>5</sub> or R<sub>9</sub> may be joined to the R<sub>7</sub> group that immediately precedes such R<sub>2</sub>, R<sub>4</sub>, R<sub>5</sub> or R<sub>9</sub> group by additional carbon-carbon bonds to form a five-,  
25 six- or seven-membered ring structure, thereby fixing such R<sub>2</sub>, R<sub>4</sub>, R<sub>5</sub> or R<sub>9</sub> group to the immediately preceding nitrogen atom.

16. The linear peptide of claim 15 wherein R<sub>8</sub> is a C<sub>1</sub> to C<sub>17</sub> aliphatic linear chain or branched chain group, an acylated group derived from C<sub>1</sub> to C<sub>17</sub> aliphatic linear chain or branched  
30 chain group, an omega amino and carboxylic derivative of a C<sub>1</sub> to C<sub>17</sub> aliphatic linear chain or

branched chain groups, or an omega amino derivative of an acylated group derived from a C<sub>1</sub> to C<sub>17</sub> aliphatic linear chain or branched chained group.

17. The linear peptide of claim 15 wherein at least one of R<sub>2</sub> and R<sub>3</sub> are

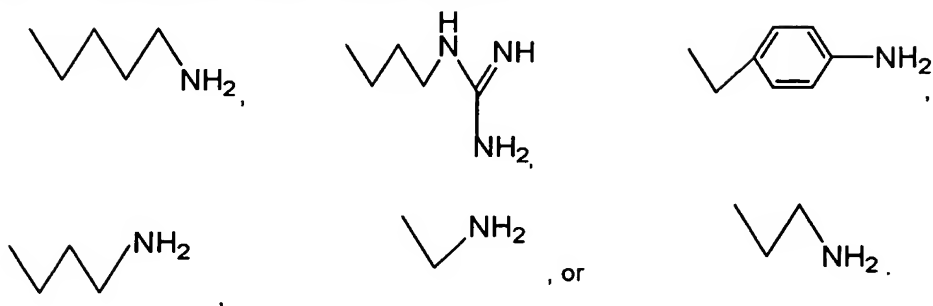


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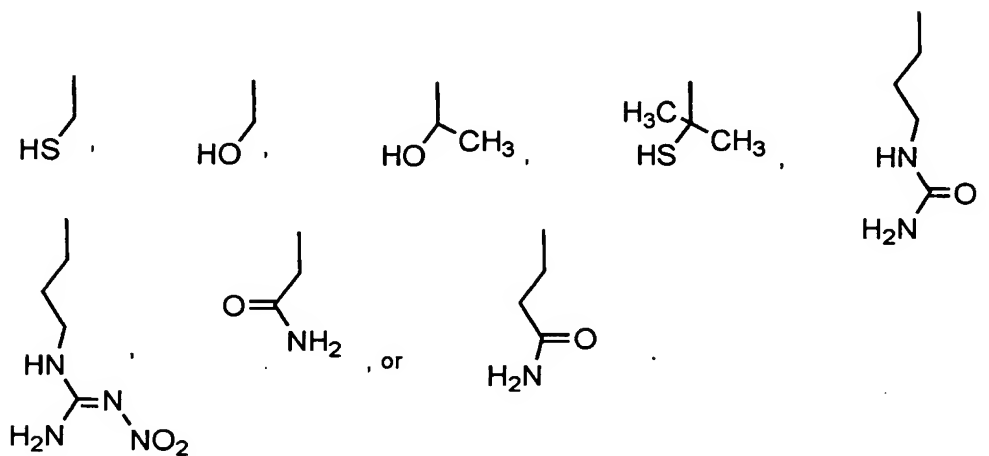
18. The peptide of claim 15 wherein R<sub>4</sub> is a positively charged aliphatic or aromatic side chain of a natural or synthetic L- or D-amino acid, wherein the at least one nitrogen-containing group in the chain is an amide, imide, amine or nitrile.



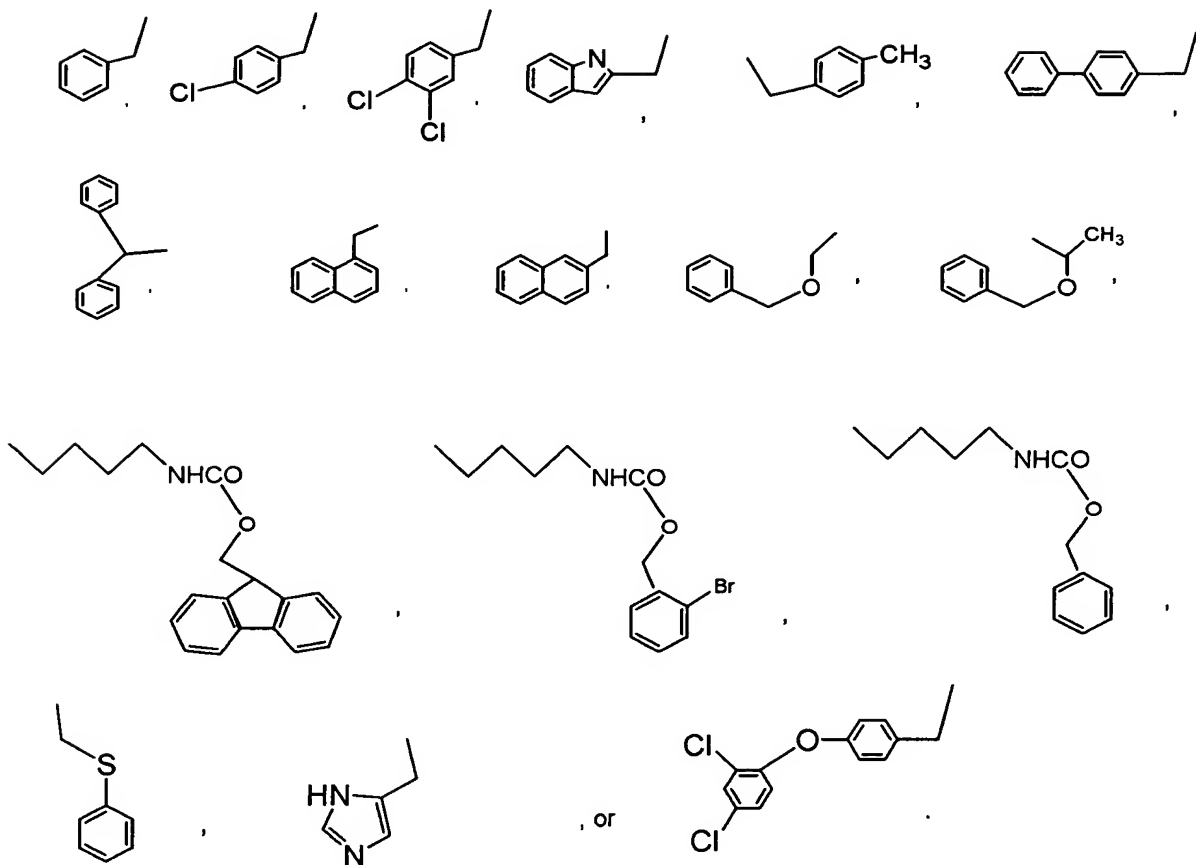
19. The peptide of claim 15 wherein R<sub>4</sub> is



20. The peptide of claim 15 wherein R<sub>4</sub> is a neutral aliphatic side chain having hydrogen donors and/or acceptors comprising:

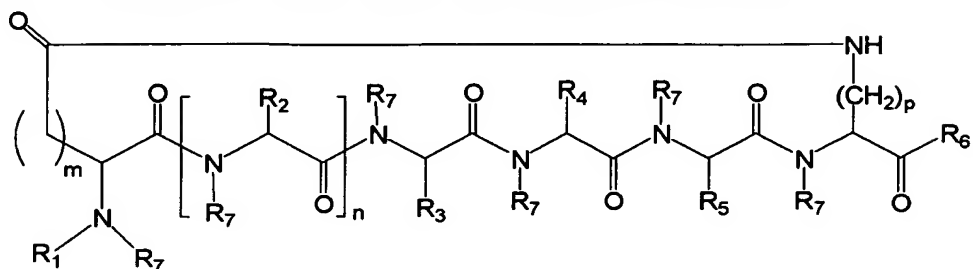


21. The peptide of claim 15 wherein R<sub>5</sub> is



22. The peptide of claim 15 wherein R<sub>9</sub> is methyl, ethyl, propyl, butyl, a higher linear or  
5 branched chain, or a linear chain terminating in an amino group, benzyl, or aralkyl group.

23. A melanocortin receptor-specific cyclic peptide of the formula:



where:

5 R<sub>1</sub> is H, an aliphatic L- or D-amino acid, N-acylated aliphatic L- or D-amino acid or R<sub>6</sub>;

R<sub>2</sub>, R<sub>3</sub> and R<sub>5</sub> are independently each H, CH<sub>3</sub>, an aromatic substituent aryl or heteroaryl side chain of a natural or synthetic L- or D-amino acid containing at least one aromatic moiety, wherein the ring(s) may additionally be functionalized by halogen, alkyl or aryl groups;

10 R<sub>4</sub> is a positively charged aliphatic or aromatic side chain of a natural or synthetic L- or D-amino acid, wherein the chain comprises at least one nitrogen-containing group, or is a neutral aliphatic side chain having hydrogen donors and/or acceptors;

R<sub>6</sub> is hydroxide, NH<sub>2</sub>, or NH-R<sub>6</sub>;

R<sub>7</sub> is H, methyl, ethyl, propyl, butyl, a higher linear or branched chain homolog, or a chain terminating in an amino group, benzyl, or aralkyl group;

15 R<sub>8</sub> is, in each instance, independently a linear or branched C<sub>1</sub> to C<sub>17</sub> alkyl, aryl, heteroaryl, alkene, alkenyl, or aralkyl chain;

m is 1 or 2;

n is normally 1 with the proviso that n may be 0 in which case this amino acid is not present;

and

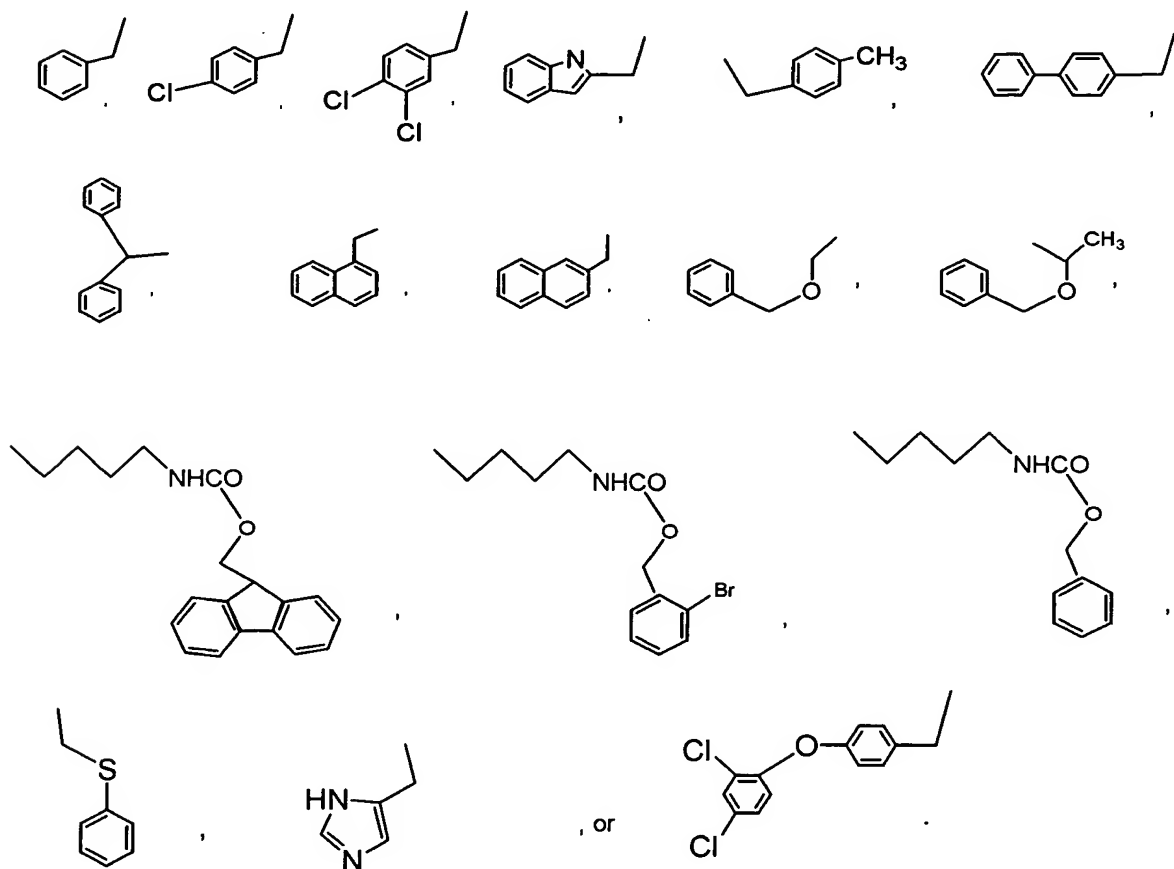
20 p is 1 to 5.

24. The cyclic peptide of claim 23 wherein R<sub>6</sub> is a C<sub>1</sub> to C<sub>17</sub> aliphatic linear chain or branched chain group, an acylated group derived from C<sub>1</sub> to C<sub>17</sub> aliphatic linear chain or branched chain group, an omega amino and carboxylic derivative of a C<sub>1</sub> to C<sub>17</sub> aliphatic linear chain or

branched chain groups, or an omega amino derivative of an acylated group derived from a C<sub>1</sub> to C<sub>17</sub> aliphatic linear chain or branched chained group.

25. The cyclic peptide of claim 23 wherein at least one of R<sub>2</sub>, R<sub>3</sub> or R<sub>6</sub> are independently

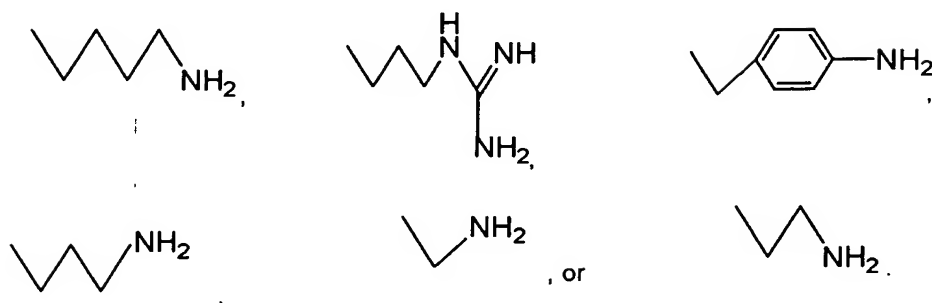
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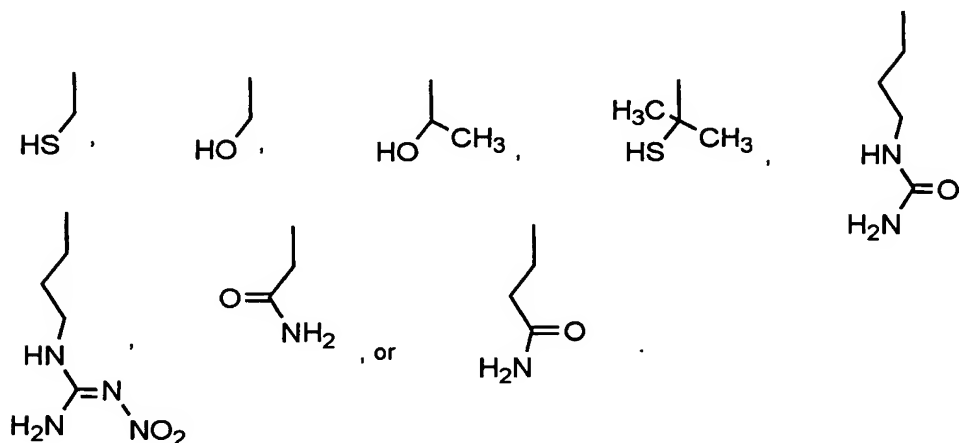
26. The cyclic peptide of claim 23 wherein R<sub>4</sub> is a positively charged aliphatic or aromatic side chain of a natural or synthetic L- or D-amino acid, wherein the at least one nitrogen-containing group in the chain is an amide, imide, amine or nitrile.

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27. The cyclic peptide of claim 23 wherein R<sub>4</sub> is



28. The cyclic peptide of claim 23 wherein R<sub>4</sub> is a neutral aliphatic side chain having hydrogen donors and/or acceptors comprising:



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29. A method of stimulating sexual response in a mammal, comprising administering a pharmaceutically sufficient amount of a melanocortin receptor 3 and/or 4 selective agonist peptide of any of the foregoing claims.

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30. The method of claim 29 comprising a method of administration selected from the group consisting of intravenous, subcutaneous, intramuscular, parenteral, intranasal, oral, dermal, inhalation, buccal, pulmonary, ocular, sublingual and vaginal administration.

31. A method of decreasing food intake in a mammal, comprising administering a pharmaceutically sufficient amount of a melanocortin receptor 4 and/or 5 selective agonist peptide of any of claims 1 to 28.

5 32. The method of claim 31 comprising a method of administration selected from the group consisting of intravenous, subcutaneous, intramuscular, parenteral, intranasal, oral, dermal, inhalation, buccal, pulmonary, ocular, sublingual and vaginal administration.

33. A peptide of the sequence 7'-amino-heptanoyl-Ser(Bzl)-D-Phe(4-Cl)-Arg-Trp-NH<sub>2</sub>.

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34. A pharmaceutical composition comprising a peptide of the sequence 7'-amino-heptanoyl-Ser(Bzl)-D-Phe(4-Cl)-Arg-Trp-NH<sub>2</sub>.

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